| Ref # | Hits | Search Query | DBs | Default Operator | Plurals | Time Stamp |
|---|---|---|---|---|---|---|
| L1 | 11179 | 546/119, 546/143, 546/153, 546/159, 546/255, 546/275.4, 546/275.7, 544/124, 544/360, 514/235.5, 514/253.01, 514/303, 514/314, 514/333, 514/338, 514/340 | US-PGPUB; USPAT | OR | OFF | 2006/01/27 15:06 |
| L2 | 13923 | GSK$ or Aurora$ | US-PGPUB; USPAT | OR | OFF | 2006/01/27 15:06 |
| L3 | 143 | l1 and l2 | US-PGPUB; USPAT | OR | OFF | 2006/01/27 15:06 |

KH

Day : Friday
Date: 1/27/2006

Time: 14:58:53

PALM INTRANET

# Inventor Information for 10/736426

| Inventor Name | City | State/Country |
|---|---|---|
| BEBBINGTON, DAVID | NEWBURY | UNITED KINGDOM |
| CHARRIER, JEAN-DAMIEN | WANTAGE | UNITED KINGDOM |

Appln Info | Contents | Petition Info | Atty/Agent Info | Continuity Data | Foreign Data

**Search Another: Application#** [ ] Search **or Patent#** [ ] Search

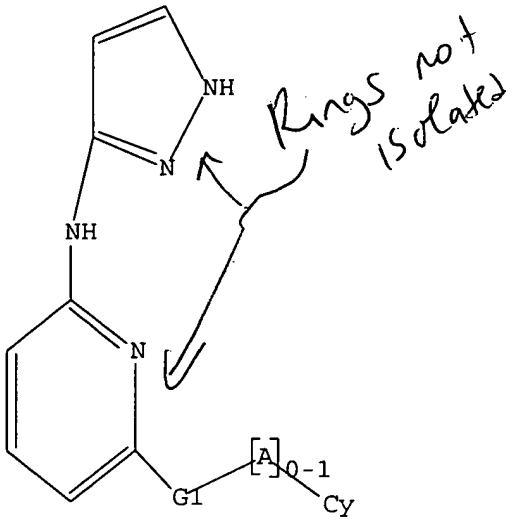
**PCT /** [ ] / [ ] Search **or PG PUBS #** [ ] Search

**Attorney Docket #** [ ] Search

**Bar Code #** [ ] Search

To go back use Back button on your browser toolbar.

Back to PALM | ASSIGNMENT | OASIS | Home page

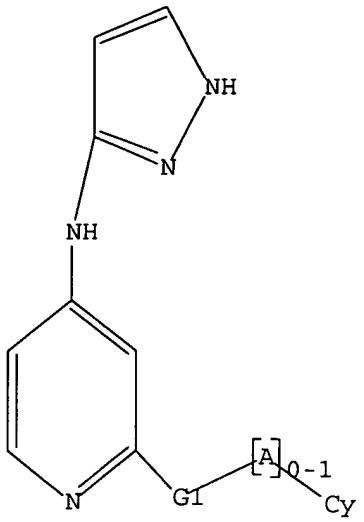



Rings not isolated

G1 C,O,S,N,Cb

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 14:09:23 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      147 TO ITERATE

100.0% PROCESSED      147 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         2213 TO     3667
PROJECTED ANSWERS:               0 TO        0

L2             0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 14:09:31 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     3110 TO ITERATE

100.0% PROCESSED     3110 ITERATIONS                                  3 ANSWERS
SEARCH TIME: 00.00.01

L3             3 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   166.94     167.15

FILE 'CAPLUS' ENTERED AT 14:09:38 ON 27 JAN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)
```




G1 C,O,S,N,Cb

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 14:13:52 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      271 TO ITERATE

100.0% PROCESSED      271 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         4433 TO     6407
PROJECTED ANSWERS:               0 TO        0

L2             0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 14:13:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     5384 TO ITERATE

100.0% PROCESSED     5384 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.01

L3             0 SEA SSS FUL L1

=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   166.94     167.15

STN INTERNATIONAL LOGOFF AT 14:14:05 ON 27 JAN 2006
```

FILE COVERS 1907 - 27 Jan 2006 VOL 144 ISS 6
FILE LAST UPDATED: 26 Jan 2006 (20060126/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

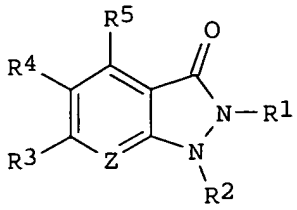
=> s l3
L4 1 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:370926 CAPLUS
DOCUMENT NUMBER: 140:391292
TITLE: Preparation of indazolinone compositions useful as kinase inhibitors
INVENTOR(S): Aronov, Alex; Lauffer, David J.; Li, Huan Qui; Tomlinson, Ronald Charles; Li, Pan
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 260 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004037814 | A1 | 20040506 | WO 2003-US34065 | 20031027 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2004167121 | A1 | 20040826 | US 2003-694534 | 20031027 |

PRIORITY APPLN. INFO.: US 2002-421398P P 20021025
OTHER SOURCE(S): MARPAT 140:391292
GI



I

AB The present invention provides compds. of formula (I). [Wherein R1, R2 = H or a nitrogen protecting group; one of R3 or R4 = R and the other one of R3 or R4 = -Q1-A-Q2-Y; wherein Q1 = a valence bond, NRa, C(Ra)2, S, O, SO2, NRaSO2, SO2NRa, CO, NRaCO, CONRa, OC(O), C(O)O, OC(O)NRa, 1,2-cyclopropanedilyl, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl, optionally substituted C2-4 alkylidene, etc.; wherein Ra = H, each optionally substituted C1-4 aliphatic; A = optionally substituted 5-to 7-membered monocyclic or 8- to 10-membered bicyclic aryl, heteroaryl, heterocyclic, carbocyclic ring, or C2-6 alkylidene, etc.; Q2 = NRc, SO, O, or C(Rc)2; wherein Rc = H, optionally substituted C1-4 aliphatic; Y = each optionally substituted 5- to 7-membered monocyclic or 8- to 10 membered bicyclic aryl, heteroaryl, heterocyclic, or carbocyclic ring; R5 = R; Z = N, CR6; wherein R6 = R; R = H, halo, Q-halogen, cyano, Q-CN, NO2, Q-NO2, R7, Q-R7; Q = optionally substituted C1-4 alkylidene; wherein one or more methylene units of Q is optionally replaced by O, S, NR7, NR7CO, NR7CONR7, NR7CO2, CO, CO2, CONR7, OC(O)NR7, SO2, SO2NR7, NR7SO2, NR7SO2NR7, C(O)C(O), or C(O)C(R7)2C(O); wherein R7 = H, each optionally substituted aliphatic, heteroaliph., aryl or heteroaryl]. The compds. I and pharmaceutically acceptable compns. thereof, are useful generally as protein kinase inhibitors, particularly as inhibitors of protein kinase PRAK, protein kinase GSK3, protein kinase ERK2, protein kinase CDK2, MAP kinase-activated protein kinase 2 (MK2), SRC kinase, protein kinase SYK, and protein kinase Aurora-2. Accordingly, the compds. I and compns. of the invention are useful for treating or lessening the severity of a disease or condition selected from cardiovascular disease, diabetes, neurol. disorders (e.g. Alzheimer's disease), immunodeficiency disorders, inflammatory diseases, allergic diseases, autoimmune diseases, destructive bone disorders such as osteoporosis, proliferative disorders, infectious diseases, and viral diseases. Thus, a solution of (2-chloroquinazolin-4-yl)(5-cyclopropyl-1H-pyrazol-3-yl)amine (50.0 mg, 0.175 mmol) and 6-amino-3-oxo-2,3-dihydroindazole-1-carboxylic acid tert-Bu ester (69.8 mg. 0.280 mmol) in NMP (1.0 mL) was heated up to 100° for 6 h to give, after workup, acidification with CF3CO2H, and HPLC purification, 6-[[4-[(5-cclopropyl-1H-pyrazol-3-yl)amino]quinazolin-2-yl]amino]-1,2-dihydroindazol-3-one trifluoroacetate. Some compds. of the formula I were shown to have Ki of <0.1 μM for GSK-3 and Aurora-2 and <1.0 μM for CDK-2, ERK2, PRAK, SRC, SYK, and MK2.

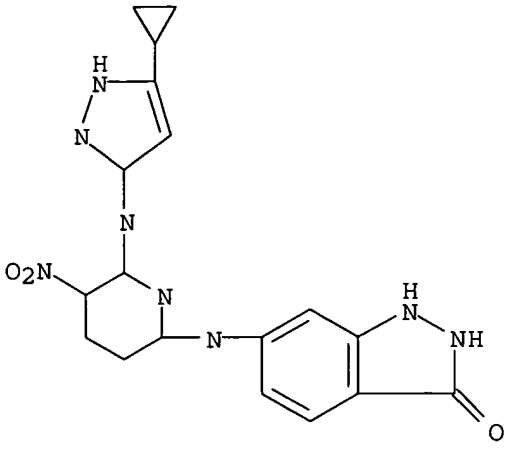
IT **685867-13-4P**, 6-[[6-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-5-nitropyridin-2-yl]amino]-1,2-dihydroindazol-3-one **685867-15-6P**, 6-[[6-[(5-Cyclopropyl-1H-pyrazol-3-yl)amino]-3-nitropyridin-2-yl]amino]-1,2-dihydroindazol-3-one **685867-16-7P**, 6-[[5-Amino-6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]pyridin-2-yl]amino]-1,2-dihydroindazol-3-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indazolinone derivs. as kinase inhibitors for treating or lessening severity of diseases or conditions)

RN 685867-13-4 CAPLUS

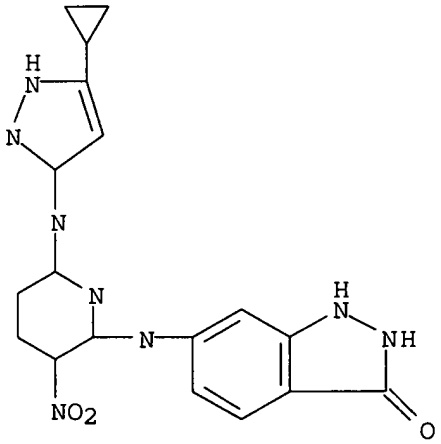
CN 3H-Indazol-3-one, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-5-nitro-2-pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 685867-15-6 CAPLUS

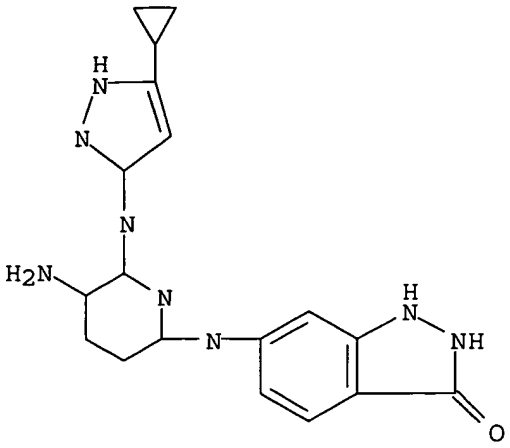
CN 3H-Indazol-3-one, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-3-nitro-2-pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 685867-16-7 CAPLUS

CN 3H-Indazol-3-one, 6-[[5-amino-6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-2-pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

=> log y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 5.57 | 172.72 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -0.75 | -0.75 |

STN INTERNATIONAL LOGOFF AT 14:09:55 ON 27 JAN 2006